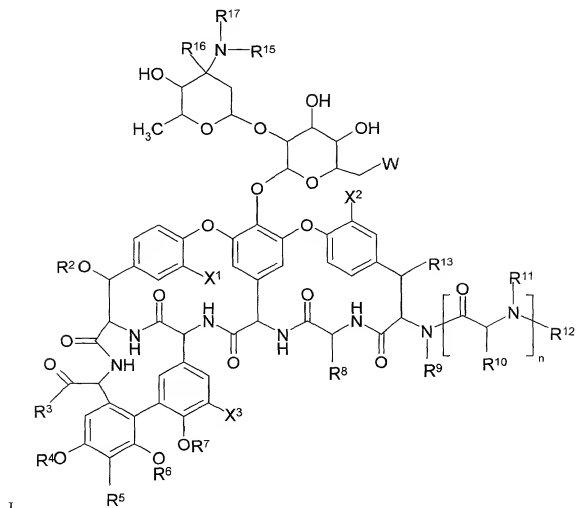


WHAT IS CLAIMED IS:

1. A compound of formula I:



wherein

- 25 R^2 is hydrogen or a saccharide group optionally substituted with

$-R^a-Y-R^b-(Z)_x$;

R^3 is $-OR^c$, $-NR^cR^d$, $-O-R^a-Y-R^b-(Z)_x$, $-NR^c-R^a-Y-R^b-(Z)_x$, $-NR^cR^d$, or $-O-R^e$;

R^4 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, $-R^a-Y-R^b-(Z)_x$, $-C(O)R^d$ and a saccharide group optionally substituted with $-R^a-Y-R^b-(Z)_x$;

- 5 R^5 is selected from the group consisting of hydrogen, halo, $-\text{CH}(R^c)-\text{NR}^cR^e$, $-\text{CH}(R^c)-\text{NR}^cR^e$ and $-\text{CH}(R^c)-\text{NR}^c-R^a-Y-R^b-(Z)_x$;

- R^6 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, $-R^a-Y-R^b-(Z)_x$, $-C(O)R^d$ and a saccharide group optionally substituted with $-\text{NR}^c-R^a-Y-R^b-(Z)_x$, or R^5 and R^6 can be joined, together with the atoms to which they are attached, form a
10 heterocyclic ring optionally substituted with $-\text{NR}^c-R^a-Y-R^b-(Z)_x$;

R^7 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, $-R^a-Y-R^b-(Z)_x$, and $-C(O)R^d$;

- R^8 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted
15 cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

R^9 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

- 20 R^{10} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic; or R^8 and R^{10} are joined to form $-\text{Ar}^1-\text{O}-\text{Ar}^2-$, where Ar^1 and Ar^2 are independently arylene or heteroarylene;

- 25 R^{11} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic, or R^{10} and R^{11} are joined, together with the carbon and nitrogen atoms to which they are attached, to form a heterocyclic ring;

- R^{12} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic, $-C(O)R^d$, $-C(NH)R^d$, $-C(O)NR^cR^c$, $-C(O)OR^d$, $-C(NH)NR^cR^c$ and $-R^a-Y-R^b-(Z)_x$, or R^{11} and R^{12} are joined, together with the nitrogen atom to which they are attached, to form a heterocyclic ring;
- R^{13} is selected from the group consisting of hydrogen or $-OR^{14}$;
- R^{14} is selected from hydrogen, $-C(O)R^d$ and a saccharide group;
- R^{15} is hydrogen or $-R^a-Y-R^b-(Z)_x$;
- R^{16} is hydrogen or methyl;
- R^{17} is hydrogen, alkyl or substituted alkyl;
- each R^a is independently selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene;
- each R^b is independently selected from the group consisting of a covalent bond, alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene, provided R^b is not a covalent bond when Z is hydrogen;
- each R^c is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and $-C(O)R^d$;
- each R^d is independently selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;
- R^e is a saccharide group;
- W is selected from the group consisting of $-OR^c$, $-SR^c$, $-S-S-R^d$, $-NR^cR^c$, $-S(O)R^d$, $-SO_2R^d$, $-NR^cC(O)R^d$, $-OSO_2R^d$, $-OC(O)R^d$, $-NR^cSO_2R^d$, $-C(O)NR^cR^c$, $-C(O)OR^c$, $-C(NR^c)OR^c$, $-SO_2NR^cR^c$, $-SO_2OR^c$, $-P(O)(OR^c)_2$, $-P(O)(OR^c)NR^cR^c$, $-OP(O)(OR^c)_2$, $-OP(O)(OR^c)NR^cR^c$, $-OC(O)OR^d$, $-NR^cC(O)OR^d$,

$-\text{NR}^c\text{C}(\text{O})\text{NR}^c\text{R}^e$, $-\text{OC}(\text{O})\text{NR}^c\text{R}^e$, $-\text{NR}^c\text{SO}_2\text{NR}^c\text{R}^e$; $-\text{N}^+(\text{R}^e)=\text{CR}^c\text{R}^e$, $-\text{N}=\text{P}(\text{R}^d)_3$,
 $-\text{N}^+(\text{R}^d)_3$, $-\text{P}^+(\text{R}^d)_3$, $-\text{C}(\text{S})\text{OR}^d$, and $-\text{C}(\text{S})\text{SR}^d$;

X^1 , X^2 and X^3 are independently selected from hydrogen or chloro;

each Y is independently selected from the group consisting of oxygen, sulfur,

- 5 $-\text{S}-\text{S}-$, $-\text{NR}^c-$, $-\text{S}(\text{O})-$, $-\text{SO}_2-$, $-\text{NR}^c\text{C}(\text{O})-$, $-\text{OSO}_2-$, $-\text{OC}(\text{O})-$, $-\text{NR}^c\text{SO}_2-$,
 $-\text{C}(\text{O})\text{NR}^c-$, $-\text{C}(\text{O})\text{O}-$, $-\text{SO}_2\text{NR}^c-$, $-\text{SO}_2\text{O}-$, $-\text{P}(\text{O})(\text{OR}^e)\text{O}-$, $-\text{P}(\text{O})(\text{OR}^e)\text{NR}^c-$,
 $-\text{OP}(\text{O})(\text{OR}^e)\text{O}-$, $-\text{OP}(\text{O})(\text{OR}^e)\text{NR}^c-$, $-\text{OC}(\text{O})\text{O}-$, $-\text{NR}^c\text{C}(\text{O})\text{O}-$, $-\text{NR}^c\text{C}(\text{O})\text{NR}^c-$,
 $-\text{OC}(\text{O})\text{NR}^c-$ and $-\text{NR}^c\text{SO}_2\text{NR}^c-$;

each Z is independently selected from hydrogen, aryl, cycloalkyl,

- 10 cycloalkenyl, heteroaryl and heterocyclic;

n is 0, 1 or 2;

x is 1 or 2;

and pharmaceutically acceptable salts, stereoisomers and prodrugs thereof;

provided that at least one of R^{15} , R^2 , R^3 , R^4 , R^5 , R^6 , R^7 or R^{12} has a

- 15 substituent of the formula $-\text{R}^a-\text{Y}-\text{R}^b-(\text{Z})_x$;

and further provided that:

- (i) when Y is $-\text{NR}^c-$, R^c is alkyl of 1 to 4 carbon atoms, Z is hydrogen
 and R^b is alkylene, then R^b contains at least 5 carbon atoms;
- (ii) when Y is $-\text{C}(\text{O})\text{NR}^c-$, Z is hydrogen and R^b is alkylene, then R^b
 20 contains at least 5 carbon atoms;
- (iii) when Y is sulfur, Z is hydrogen and R^b is alkylene, then R^b contains
 at least 7 carbon atoms; and
- (iv) when Y is oxygen, Z is hydrogen and R^b is alkylene, then R^b contains
 at least 11 carbon atoms.

25

2. The compound of Claim 1, wherein R^2 is hydrogen and R^{13} is -OH.

3. The compound of Claim 2, wherein R^4 , R^6 and R^7 are each hydrogen.

30

4. The compound of Claim 3, wherein R^8 is $-\text{CH}_2\text{C}(\text{O})\text{NH}_2$.

5. The compound of Claim 4, wherein R^9 is hydrogen; R^{10} is isobutyl; R^{11} is methyl; and R^{12} is hydrogen.

5 6. The compound of Claim 5, wherein R^3 is hydrogen, $-\text{CH}_2\text{-NHR}^c$,
 $-\text{CH}_2\text{-NR}^c\text{R}^e$ and $-\text{CH}_2\text{-NH-R}^a\text{-Y-R}^b\text{-(Z)}_x$.

7. The compound of Claim 6, wherein R^3 is $-\text{OR}^c$ or $-\text{NR}^c\text{R}^e$.

10 8. The compound of Claim 7, wherein R^3 is $-\text{OH}$ and R^5 is hydrogen.

9. The compound of Claim 8, wherein R^{15} is $-\text{R}^a\text{-Y-R}^b\text{-(Z)}_x$.

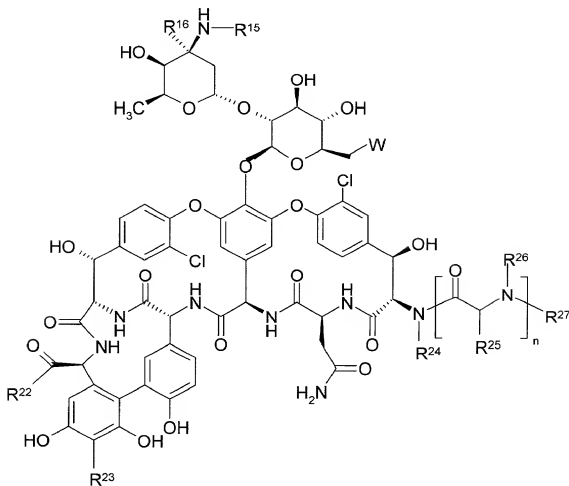
15

20

25

30

10. A compound of formula II:



II

wherein

R^{15} is hydrogen or $-R^a-Y-R^b-(Z)_x$;

R^{16} is hydrogen or methyl;

R^{22} is $-OR^c$, $-NR^cR^c$, $-O-R^a-Y-R^b-(Z)_x$ or $-NR^c-R^a-Y-R^b-(Z)_x$;

R^{23} is selected from the group consisting of hydrogen, halo,
 $-CH(R^c)-NR^cR^c$, $-CH(R^c)-R^c$ and $-CH(R^c)-NR^c-R^a-Y-R^b-(Z)_x$;

R^{24} is selected from the group consisting of hydrogen and lower alkyl;

R^{25} is selected from the group consisting of hydrogen, alkyl, substituted
 alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl,

substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

- 5 R^{26} is selected from the group consisting of hydrogen and lower alkyl; or R^{25} and R^{26} are joined, together with the carbon and nitrogen atoms to which they are attached, to form a heterocyclic ring;

- R^{27} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic, $-C(O)R^d$, $-C(NH)R^d$, $-C(O)NR^cR^c$, $-C(O)OR^d$, $-C(NH)NR^cR^c$ and $-R^a-Y-R^b-(Z)_x$, or R^{26} and R^{27} are joined, together with the nitrogen atom to which they are attached, to form a heterocyclic ring;

- each R^a is independently selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene;

- 15 each R^b is independently selected from the group consisting of a covalent bond, alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene, provided R^b is not a covalent bond when Z is hydrogen;

- each R^c is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and $-C(O)R^d$;

- 20 each R^d is independently selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

R^e is an aminosaccharide group;

- W is selected from the group consisting of $-OR^e$, $-SR^e$, $-S-S-R^d$, $-NR^cR^c$, $-S(O)R^d$, $-SO_2R^d$, $-NR^cC(O)R^d$, $-OSO_2R^d$, $-OC(O)R^d$, $-NR^cSO_2R^d$, $-C(O)NR^cR^c$, $-C(O)OR^e$, $-C(NR^e)OR^e$, $-SO_2NR^cR^c$, $-SO_2OR^e$, $-P(O)(OR^e)_2$, $-P(O)(OR^e)NR^cR^c$, $-OP(O)(OR^e)_2$, $-OP(O)(OR^e)NR^cR^c$, $-OC(O)OR^d$, $-NR^cC(O)OR^d$,

30

$-\text{NR}^{\text{c}}\text{C}(\text{O})\text{NR}^{\text{c}}\text{R}^{\text{c}}$, $-\text{OC}(\text{O})\text{NR}^{\text{c}}\text{R}^{\text{c}}$, $-\text{NR}^{\text{c}}\text{SO}_2\text{NR}^{\text{c}}\text{R}^{\text{c}}$, $-\text{N}^+(\text{R}^{\text{e}})=\text{CR}^{\text{c}}\text{R}^{\text{c}}$, $-\text{N}=\text{P}(\text{R}^{\text{d}})_3$,
 $-\text{N}^+(\text{R}^{\text{d}})_3$, $-\text{P}^+(\text{R}^{\text{d}})_3$, $-\text{C}(\text{S})\text{OR}^{\text{d}}$, and $-\text{C}(\text{S})\text{SR}^{\text{d}}$;

each Y is independently selected from the group consisting of oxygen, sulfur,

- 5 $-\text{S}-\text{S}-$, $-\text{NR}^{\text{c}}-$, $-\text{S}(\text{O})-$, $-\text{SO}_2-$, $-\text{NR}^{\text{c}}\text{C}(\text{O})-$, $-\text{OSO}_2-$, $-\text{OC}(\text{O})-$, $-\text{NR}^{\text{c}}\text{SO}_2-$,
 $-\text{C}(\text{O})\text{NR}^{\text{c}}-$, $-\text{C}(\text{O})\text{O}-$, $-\text{SO}_2\text{NR}^{\text{c}}-$, $-\text{SO}_2\text{O}-$, $-\text{P}(\text{O})(\text{OR}^{\text{e}})\text{O}-$, $-\text{P}(\text{O})(\text{OR}^{\text{e}})\text{NR}^{\text{c}}-$,
 $-\text{OP}(\text{O})(\text{OR}^{\text{e}})\text{O}-$, $-\text{OP}(\text{O})(\text{OR}^{\text{e}})\text{NR}^{\text{c}}-$, $-\text{OC}(\text{O})\text{O}-$, $-\text{NR}^{\text{c}}\text{C}(\text{O})\text{O}-$, $-\text{NR}^{\text{c}}\text{C}(\text{O})\text{NR}^{\text{c}}-$,
 $-\text{OC}(\text{O})\text{NR}^{\text{c}}-$ and $-\text{NR}^{\text{c}}\text{SO}_2\text{NR}^{\text{c}}-$;

each Z is independently selected from hydrogen, aryl, cycloalkyl,

cycloalkenyl, heteroaryl and heterocyclic;

- 10 n is 0, 1 or 2;

x is 1 or 2;

and pharmaceutically acceptable salts, stereoisomers and prodrugs thereof;

provided that at least one of R^{15} , R^{22} , R^{23} or R^{27} has a substituent of the

formula $-\text{R}^{\text{a}}-\text{Y}-\text{R}^{\text{b}}-(\text{Z})_x$;

- 15 and further provided that:

(i) when Y is $-\text{NR}^{\text{c}}-$, R^{c} is alkyl of 1 to 4 carbon atoms, Z is hydrogen
and R^{b} is alkylene, then R^{b} contains at least 5 carbon atoms;

(ii) when Y is $-\text{C}(\text{O})\text{NR}^{\text{c}}-$, Z is hydrogen and R^{b} is alkylene, then R^{b}
contains at least 5 carbon atoms;

- 20 (iii) when Y is sulfur, Z is hydrogen and R^{b} is alkylene, then R^{b} contains
at least 7 carbon atoms; and

(iv) when Y is oxygen, Z is hydrogen and R^{b} is alkylene, then R^{b} contains
at least 11 carbon atoms.

- 25 11. The compound of Claim 10, wherein R^{24} is hydrogen; R^{25} is isobutyl;
 R^{26} is methyl; and R^{27} is hydrogen.

12. The compound of Claim 11, wherein R^{22} is $-\text{OH}$.

- 30 13. The compound of Claim 12, wherein R^{23} is hydrogen.

14. The compound of Claim 13, wherein R^{15} is $-R^a-Y-R^b-(Z)_x$.

15. The compound of Claim 9 or 14, wherein W is $-NH_2$.

5 16. The compound of Claim 15, wherein the $-R^a-Y-R^b-(Z)_x$ group is

selected from the group consisting of:

$-CH_2CH_2-NH-(CH_2)_9CH_3$;

$-CH_2CH_2CH_2-NH-(CH_2)_8CH_3$;

$-CH_2CH_2CH_2CH_2-NH-(CH_2)_7CH_3$;

10 $-CH_2CH_2-NHSO_2-(CH_2)_9CH_3$;

$-CH_2CH_2-NHSO_2-(CH_2)_{11}CH_3$;

$-CH_2CH_2-S-(CH_2)_8CH_3$;

$-CH_2CH_2-S-(CH_2)_9CH_3$;

$-CH_2CH_2-S-(CH_2)_{10}CH_3$;

15 $-CH_2CH_2CH_2-S-(CH_2)_8CH_3$;

$-CH_2CH_2CH_2-S-(CH_2)_9CH_3$;

$-CH_2CH_2CH_2-S-(CH_2)_3-CH=CH-(CH_2)_4CH_3$ (*trans*);

$-CH_2CH_2CH_2CH_2-S-(CH_2)_7CH_3$;

$-CH_2CH_2-S(O)-(CH_2)_9CH_3$;

20 $-CH_2CH_2-S-(CH_2)_6Ph$;

$-CH_2CH_2-S-(CH_2)_8Ph$;

$-CH_2CH_2CH_2-S-(CH_2)_8Ph$;

$-CH_2CH_2-NH-CH_2-4-(4-Cl-Ph)-Ph$;

$-CH_2CH_2-NH-CH_2-4-[4-CH_3)_2CHCH_2-]-Ph$;

25 $-CH_2CH_2-NH-CH_2-4-(4-CF_3-Ph)-Ph$;

$-CH_2CH_2-S-CH_2-4-(4-Cl-Ph)-Ph$;

$-CH_2CH_2-S(O)-CH_2-4-(4-Cl-Ph)-Ph$;

$-CH_2CH_2CH_2-S-CH_2-4-(4-Cl-Ph)-Ph$;

$-CH_2CH_2CH_2-S(O)-CH_2-4-(4-Cl-Ph)-Ph$;

30 $-CH_2CH_2CH_2-S-CH_2-4-[3,4-di-Cl-PhCH_2O-)-Ph$;

- CH₂CH₂-NHSO₂-CH₂-4-[4-(4-Ph)-Ph]-Ph;
- CH₂CH₂CH₂-NHSO₂-CH₂-4-(4-Cl-Ph)-Ph;
- CH₂CH₂CH₂-NHSO₂-CH₂-4-(Ph-C≡C)-Ph;
- CH₂CH₂CH₂-NHSO₂-4-(4-Cl-Ph)-Ph; and
- CH₂CH₂CH₂-NHSO₂-4-(naphth-2-yl)-Ph.

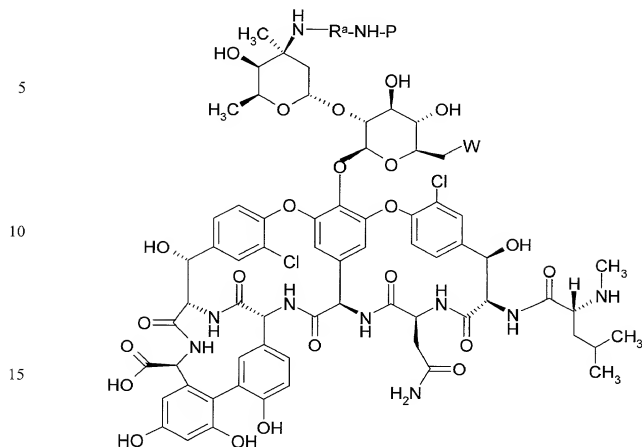
17. A pharmaceutical composition comprising a pharmaceutically-acceptable carrier and a therapeutically effective amount of a compound of Claim 1 or 10.

18. The pharmaceutical composition of Claim 17, wherein the composition further comprises a cyclodextrin.

19. A method of treating a mammal having a bacterial disease, the method comprising administering to the mammal a pharmaceutical composition comprising a pharmaceutically-acceptable carrier and a therapeutically effective amount of a compound of Claim 1 or 10.

20. A compound as shown in any of Tables I, II, III or IV, or a pharmaceutically-acceptable salts thereof.

21. A compound of the formula:



wherein

20 R^a is independently selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene;

25 W is selected from the group consisting of $-OR^c$, $-SR^c$, $-S-S-R^d$, $-NR^cR^c$, $-S(O)R^d$, $-SO_2R^d$, $-NR^cC(O)R^d$, $-OSO_2R^d$, $-OC(O)R^d$, $-NR^cSO_2R^d$, $-C(O)NR^cR^c$, $-C(O)OR^c$, $-C(NR^c)OR^c$, $-SO_2NR^cR^c$, $-SO_2OR^c$, $-P(O)(OR^c)_2$, $-P(O)(OR^c)NR^cR^c$, $-OP(O)(OR^c)_2$, $-OP(O)(OR^c)NR^cR^c$, $-OC(O)OR^d$, $-NR^cC(O)R^d$, $-NR^cC(O)NR^cR^c$, $-OC(O)NR^cR^c$, $-NR^cSO_2NR^cR^c$, $-N^+(R^c)=CR^cR^c$, $-N=P(R^d)_3$, $-N^-(R^d)_3$, $-P^-(R^d)_3$, $-C(S)OR^d$, and $-C(S)SR^d$;

30 P is hydrogen or a protecting group;
and salts thereof.